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=> d his

     (FILE 'HOME' ENTERED AT 08:15:32 ON 19 AUG 2008)

     FILE 'CAPLUS' ENTERED AT 08:16:17 ON 19 AUG 2008
                E US2007-589920/APPS
L1             1 S E3
                SEL L1 RN 1-

     FILE 'REGISTRY' ENTERED AT 08:23:25 ON 19 AUG 2008
L2           417 S E1-E417
                E "1H-(1)BENZOPYRANO(3,4-F)QUINOLIN-9-OL, 5-((2-FLUORO-3-METHYL
L3             1 S E3

     FILE 'CAPLUS' ENTERED AT 08:27:59 ON 19 AUG 2008
L4             2 S L3

     FILE 'USPATFULL, USPATOLD, USPAT2' ENTERED AT 08:30:42 ON 19 AUG 2008
L5             1 S L3

     FILE 'TOXCENTER' ENTERED AT 08:32:56 ON 19 AUG 2008
L6             2 S L3
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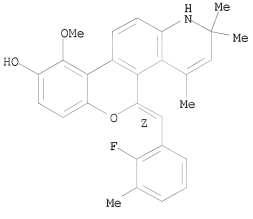
L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:979655 CAPLUS <<LOGINID::20080819>>
DOCUMENT NUMBER: 143:286410
TITLE: Preparation of 5H-chromeno[3,4-f]quinolines as glucocorticoid receptor modulators
INVENTOR(S): Zhi, Lin; Ardecky, Robert J.; Phillips, Dean; Tyhonas, John S.; Karanewsky, Donald S.; Higuchi, Robert I.; Hudson, Andrew Richard; Roach, Steven L.; Vassar, Angie C.; Li, Yongkai; Adams, Mark E.; Valdez, Lino Juan; Cuervo, Catalina
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 352 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082909	A1	20050909	WO 2005-US6627	20050224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2557278	A1	20050909	CA 2005-2557278	20050224
EP 1718653	A1	20061108	EP 2005-724220	20050224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1950375	A	20070418	CN 2005-80013058	20050224
BR 2005007987	A	20070731	BR 2005-7987	20050224
JP 2007523950	T	20070823	JP 2007-500828	20050224
MX 2006PA09544	A	20061115	MX 2006-PA9544	20060822
IN 2006DN04910	A	20070810	IN 2006-DN4910	20060825
US 20070281959	A1	20071206	US 2007-589920	20070420 <--
PRIORITY APPLN. INFO.:			US 2004-548154P P	20040225
			WO 2005-US6627 W	20050224

OTHER SOURCE(S): MARPAT 143:286410
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864054-14-8 REGISTRY
ED Entered STN: 27 Sep 2005
CN 1H-[1]Benzopyrano[3,4-f]quinolin-9-ol, 5-[(2-fluoro-3-methylphenyl)methylene]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-, (5Z)-(CA INDEX NAME)
OTHER NAMES:
CN (Z)-5-(2'-Fluoro-3'-methylbenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline
CN LGD 5552
FS STEREOSEARCH
MF C28 H26 F N O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Double bond geometry as shown.

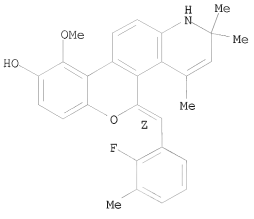


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:24690 CAPLUS <<LOGINID::20080819>>
DOCUMENT NUMBER: 148:253667
TITLE: Antiinflammatory glucocorticoid receptor ligand with reduced side effects exhibits an altered protein-protein interaction profile
AUTHOR(S): Miner, Jeffrey N.; Ardecky, Bob; Benbatoul, Khalid; Groffoths, Kimberly; Larson, Christopher J.; Mais, Dale E.; Marschke, Keith; Rosen, Jon; Vajda, Eric; Zhi, Lin; Negro-Vilar, Andres
CORPORATE SOURCE: Discovery Research, Ligand Pharmaceuticals, San Diego, CA, 92121, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2007), 104(49), 19244-19249 CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Glucocorticoids are commonly used anti-inflammatory agents whose use is limited by side effects. The authors have developed a series of glucocorticoid receptor (GR) ligands that retain the strong anti-inflammatory activity of conventional glucocorticoids with reduced side effects. The authors present a compound, LGD5552, that binds the receptor efficiently and strongly represses inflammatory gene expression. LGD5552 bound to GR activates gene expression somewhat differently than glucocorticoids. It activates some genes with an efficacy similar to that of the glucocorticoids. However, other glucocorticoid-activated genes are not regulated by LGD5552. These differences may be because of the more efficient binding of corepressor in the presence of LGD5552, compared with glucocorticoid agonists. This class of nonsteroidal, GR-dependent anti-inflammatory drugs may offer a safer alternative to steroidal glucocorticoids in the treatment of inflammatory disease.
IT 864054-14-8, LGD 5552
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiinflammatory glucocorticoid receptor ligand with reduced side effects exhibits an altered protein-protein interaction profile)
RN 864054-14-8 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinolin-9-ol, 5-[(2-fluoro-3-methylphenyl)methylene]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:979655 CAPLUS <<LOGINID::20080819>>
DOCUMENT NUMBER: 143:286410
TITLE: Preparation of 5H-chromeno[3,4-f]quinolines as glucocorticoid receptor modulators
INVENTOR(S): Zhi, Lin; Ardecky, Robert J.; Phillips, Dean; Tyhonas, John S.; Karanewsky, Donald S.; Higuchi, Robert I.; Hudson, Andrew Richard; Roach, Steven L.; Vassar, Angie C.; Li, Yongkai; Adams, Mark E.; Valdez, Lino Juan; Cuervo, Catalina
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 352 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082909	A1	20050909	WO 2005-US6627	20050224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2557278	A1	20050909	CA 2005-2557278	20050224
EP 1718653	A1	20061108	EP 2005-724220	20050224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU

CN 1950375	A	20070418	CN 2005-80013058		20050224
BR 2005007987	A	20070731	BR 2005-7987		20050224
JP 2007523950	T	20070823	JP 2007-500828		20050224
MX 2006PA09544	A	20061115	MX 2006-PA9544		20060822
IN 2006DN04910	A	20070810	IN 2006-DN4910		20060825
US 20070281959	A1	20071206	US 2007-589920		20070420
PRIORITY APPLN. INFO.:			US 2004-548154P	P	20040225
			WO 2005-US6627	W	20050224

OTHER SOURCE(S): MARPAT 143:286410

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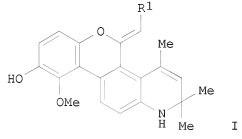

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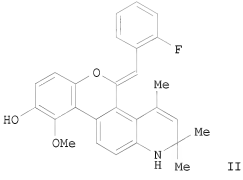


II

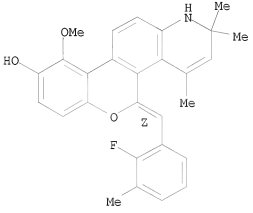
AB Title compds. I [R1 = (un)substituted Ph, pyridin-2-yl, furan-2-yl, thiophen-2-yl, pyrrol-2-yl; and their pharmaceutically acceptable derivs.; with provisos] were prepared as selective glucocorticoid receptor (GR) modulators and/or selective glucocorticoid binding agents. Thus addition of 2-fluorobenzylmagnesium bromide (formed in-situ from 2-fluorobenzyl bromide and Mg) to 9-hydroxy-10-methoxy-2,2,4-trimethyl-1,2-dihydro-5H-chromeno[3,4-f]quinolin-5-one in Et2O, and treatment with p-TSA in DCM gave chromenoquinoline II. II bound to GR with Ki < 1 nM. I are useful for treating diseases mediated by or in which GR activity is implicated such as inflammatory, autoimmune and hyperproliferative diseases (no data).

IT 864054-14-8P, (Z)-5-(2'-Fluoro-3'-methylbenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 5H-chromeno[3,4-f]quinolines as glucocorticoid receptor modulators)

RN 864054-14-8 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinolin-9-ol, 5-[(2-fluoro-3-methylphenyl)methylene]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-, (5Z)-(CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 1 OF 1 USPATFULL on STN
ACCESSION NUMBER: 2007:322627 USPATFULL <<LOGINID::20080819>>
TITLE: Glucocorticoid receptor modulator compounds and methods
INVENTOR(S): Zhi, Lin, San Diego CA 92130, CA, UNITED STATES
PATENT ASSIGNEE(S): LIGAND PHARMACEUTICALS INCORPORATED, SAN DIEGO CALIFORNIA, CA, UNITED STATES, 92121-1117 (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 20070281959	A1	20071206	
APPLICATION INFO.:	US 2005-589920	A1	20050224	(10)
	WO 2005-US6627		20050224	
			20070420	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-548154P	20040225 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: FISH & RICHARDSON, PC, P.O. BOX 1022, MINNEAPOLIS, MN, 55440-1022, US
NUMBER OF CLAIMS: 137
EXEMPLARY CLAIM: 1
LINE COUNT: 10210
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 1 OF 2 TOXCENTER COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:17529 TOXCENTER <<LOGINID::20080819>>
COPYRIGHT: Copyright 2008 ACS
DOCUMENT NUMBER: CA14812253667R
TITLE: Antiinflammatory glucocorticoid receptor ligand with reduced side effects exhibits an altered protein-protein interaction profile
AUTHOR(S): Miner, Jeffrey N.; Ardecky, Bob; Benbatoul, Khalid; Groffoths, Kimberly; Larson, Christopher J.; Mais, Dale E.; Marschke, Keith; Rosen, Jon; Vajda, Eric; et al.
CORPORATE SOURCE: Discovery Research, Ligand Pharmaceuticals, San Diego, CA, 92121, USA.
SOURCE: Proceedings of the National Academy of Sciences of the United States of America, (2007) Vol. 104, No. 49, pp. 19244-19249.
CODEN: PNASA6. ISSN: 0027-8424.
COUNTRY: UNITED STATES
DOCUMENT TYPE: Journal
FILE SEGMENT: CAPLUS
OTHER SOURCE: CAPLUS 2008:24690
LANGUAGE: English
ENTRY DATE: Entered STN: 15 Jan 2008
Last Updated on STN: 18 Mar 2008

AB Glucocorticoids are commonly used anti-inflammatory agents whose use is limited by side effects. The authors have developed a series of glucocorticoid receptor (GR) ligands that retain the strong anti-inflammatory activity of conventional glucocorticoids with reduced side effects. The authors present a compound, LGD5552, that binds the receptor efficiently and strongly represses inflammatory gene expression. LGD5552 bound to GR activates gene expression somewhat differently than glucocorticoids. It activates some genes with an efficacy similar to that of the glucocorticoids. However, other glucocorticoid-activated genes are not regulated by LGD5552. These differences may be because of the more efficient binding of corepressor in the presence of LGD5552, compared with glucocorticoid agonists. This class of nonsteroidal, GR-dependent anti-inflammatory drugs may offer a safer alternative to steroidal glucocorticoids in the treatment of inflammatory disease.

L6 ANSWER 2 OF 2 TOXCENTER COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:264478 TOXCENTER <<LOGINID::20080819>>
COPYRIGHT: Copyright 2008 ACS
DOCUMENT NUMBER: CA14316286410B
TITLE: Preparation of 5H-chromeno[3,4-f]quinolines as glucocorticoid receptor modulators
AUTHOR(S): Zhi, Lin; Ardecky, Robert J.; Phillips, Dean; Tyhonas, John S.; Karanewsky, Donald S.; Higuchi, Robert I.; Hudson, Andrew Richard; Roach, Steven L.; Vassar, Angie C.; et al.
CORPORATE SOURCE: ASSIGNEE: Ligand Pharmaceuticals Incorporated
PATENT INFORMATION: WO 2005082909 A1 9 Sep 2005
SOURCE: (2005) PCT Int. Appl., 352 pp.
CODEN: PIXXD2.
COUNTRY: UNITED STATES
DOCUMENT TYPE: Patent
FILE SEGMENT: CAPLUS
OTHER SOURCE: CAPLUS 2005:979655

LANGUAGE: English
ENTRY DATE: Entered STN: 4 Oct 2005
Last Updated on STN: 30 Jan 2007

AB Title compds. I [R1 = (un)substituted Ph, pyridin-2-yl, furan-2-yl, thiophen-2-yl, pyrrol-2-yl; and their pharmaceutically acceptable derivs.; with provisos] were prepared as selective glucocorticoid receptor (GR) modulators and/or selective glucocorticoid binding agents. Thus addition of 2-fluorobenzylmagnesium bromide (formed in-situ from 2-fluorobenzyl bromide and Mg) to 9-hydroxy-10-methoxy-2,2,4-trimethyl-1,2-dihydro-5H-chromeno[3,4-f]quinolin-5-one in Et2O, and treatment with p-TSA in DCM gave chromenoquinoline II. II bound to GR with Ki < 1 nM. I are useful for treating diseases mediated by or in which GR activity is implicated such as inflammatory, autoimmune and hyperproliferative diseases (no data).